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Control of contents and release kinetics in block copolymer vesicles[1]

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Block copolymer vesicles have received considerable attention recently because of a wide range of potential applications. In our group, the thermodynamic aspects of vesicle formation, including curvature stabilization, as well as active loading and release from vesicles have been the focus of recent research. The vesicles are prepared from an amphiphilic diblock copolymer such as polystyrene-block-poly(acrylic acid) at a low pH (2.5) by adding water to a solution in a common solvent; then the extenal pH is raised to 6.5, and the compound, such as doxorubicin or another amine, is added. Since the compund inside the vesicle becomes ionized at the low pH, it can only escape at a rate very much slower than that of the loading process. The permeability of the wall can be controlled by the presence of plasticizers for the polystyrene wall; the plasticizers partition between the wall and the external aqueous solution with a known partition coefficient, and can be removed from the wall by dialysis. Release is then studied under perfect sink conditions and is diffusional. It is noteworthy that the rates of both loading and release can be varied by more than two orders of magnitude by controlling the plasticizer content. Also, between the loading and release processes, the vesicle wall can be hardened by removal of the plasticizer by dialysis. This degree of control makes block copolymer vesicles a promising delivery vehicle for a range of materials, including drugs.

[1]*Work carried in collaboration with A. Choucair and P. Lim Soo.